

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau(43) International Publication Date  
24 July 2003 (24.07.2003)

PCT

(10) International Publication Number  
WO 03/059973 A2(51) International Patent Classification<sup>7</sup>: C08F 293/00,  
A61K 31/00, C08F 20/36, 4/00

(21) International Application Number: PCT/GB02/05932

(22) International Filing Date:  
27 December 2002 (27.12.2002)

(25) Filing Language: English

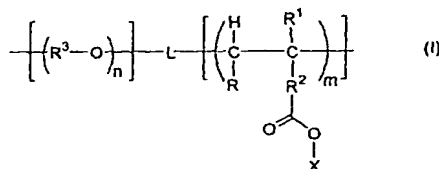
(26) Publication Language: English

(30) Priority Data:  
0131112.5 31 December 2001 (31.12.2001) GB(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).(71) Applicant (*for all designated States except US*): POLY-  
THERICS LIMITED [GB/GB]; 90 Fetter Lane, London  
EC4A 1JP (GB).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): BROCCCHINI, Steve  
[US/GB]; 15, Westly Wood, Welwyn Garden City, Hert-  
fordshire AL7 1QN (GB). GODWIN, Antony [GB/GB];  
159 St Peters Rise, Headley Park, Bristol BS13 7QR (GB).(74) Agents: SCOTT, Susan, Margaret et al.; Abel & Imray,  
20 Red Lion Street, London WC1R 4PQ (GB).**Published:**— without international search report and to be republished  
upon receipt of that report*For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.*

(54) Title: BLOCK COPOLYMERS



(57) Abstract: Novel block copolymers are described, together with the production therefrom of physiologically soluble polymer therapeutics. The block copolymers have the general formula (I) wherein R is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>18</sub> alkyl, C<sub>2</sub>-C<sub>18</sub> alkenyl, C<sub>7</sub>-C<sub>18</sub> aralkyl, C<sub>7</sub>-C<sub>18</sub> alkaryl, C<sub>6</sub>-C<sub>18</sub> aryl, carboxylic acid, C<sub>2</sub>-C<sub>18</sub> alkoxy carbonyl, C<sub>2</sub>-C<sub>18</sub> alkaminocarbonyl, or any one of C<sub>1</sub>-C<sub>18</sub> alkyl, C<sub>2</sub>-C<sub>18</sub> alkenyl, C<sub>7</sub>-C<sub>18</sub> aralkyl, C<sub>7</sub>-C<sub>18</sub> alkaryl, C<sub>6</sub>-C<sub>18</sub> aryl, C<sub>2</sub>-C<sub>18</sub> alkoxy carbonyl and C<sub>2</sub>-C<sub>18</sub> alkaminocarbonyl substituted with a heteroatom within, or attached to, the carbon backbone; R<sup>1</sup> is selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub> alkyl groups; R<sup>2</sup> is a linking group; X is an electron withdrawing group; R<sup>3</sup> is selected from the group consisting of C<sub>1</sub>-C<sub>18</sub> alkylene, C<sub>2</sub>-C<sub>18</sub> alkenylene, C<sub>7</sub>-C<sub>18</sub> aralkylene, C<sub>7</sub>-C<sub>18</sub> alkylene and C<sub>6</sub>-C<sub>18</sub> arylene; L is a divalent linker joining the blocks; and m and n are each an integer of greater than 1.

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/GB 02/05932

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C08F293/00 A61K31/00 C08F20/36 C08F4/00

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C08F C08L A61K C08G C07K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 01 18080 A (BROCCHINI STEPHEN JAMES ;GODWIN ANTONY (GB); UNIV LONDON PHARMACY) 15 March 2001 (2001-03-15) cited in the application * page 18, line 9-10, 14-15 (particularly polyethylene glycol) ; page 26, line 8-9 ; claims 1-38 ; examples * page 17, line 7 -page 28, line 15 ---	1-7,11
X	US 6 235 813 B1 (SILBER STEFAN ET AL) 22 May 2001 (2001-05-22) * claim 1 ; column 3, line 25 ; column 2, line 40 - column 3, line 29 ; claims 9, 2-19 ; examples * column 5, line 66 -column 6, line 57 --- -/--	1-7,11

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

\* Special categories of cited documents :

\*A\* document defining the general state of the art which is not considered to be of particular relevance

\*E\* earlier document but published on or after the international filing date

\*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

\*O\* document referring to an oral disclosure, use, exhibition or other means

\*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\*Z\* document member of the same patent family

Date of the actual completion of the international search

4 April 2003

Date of mailing of the international search report

30. 07. 2003

Name and mailing address of the ISA

European Patent Office, P.B. 5018 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Hammond, A

BEST AVAILABLE COPY

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/GB 02/05932

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 6 248 839 B1 (KNEBELKAMP ARNO ET AL) 19 June 2001 (2001-06-19) * claim 1 ; column 2, line 25 - column 4, line 8 ; examples * ---	1-7,11
X	WO 01 17515 A (BROCCHINI STEVEN JAMES ;CLOCHARD MARIE CLAUDE DUBOIS (GB); UNIV LO) 15 March 2001 (2001-03-15) * claims 8, 9, 17-22, 1-16 ; page 9, line 19 - page 23, line 10 ; examples * ---	1-7,11
A	DE 31 31 848 A (GOLDSCHMIDT AG TH) 24 February 1983 (1983-02-24) abstract; claims 1-12 ---	1-7,11
A	US 6 162 882 A (MATYJASZEWSKI KRZYSZTOF ET AL) 19 December 2000 (2000-12-19) * column 1, line 25-28 ; column 2, line 65 - column 3, line 8 ; column 9, line 36-38* column 7, line 48 -column 18, line 20 ---	1-7,11
A	US 5 763 548 A (MATYJASZEWSKI KRZYSZTOF ET AL) 9 June 1998 (1998-06-09) * column 6, line 30 ; column 6, line 37 - column 31, line 45 * column 3, line 33-54 ---	1-7,11
A	DE 195 20 875 A (BASF CORP) 14 December 1995 (1995-12-14) * abstract ; claim 1 * page 2, line 58 -page 4, line 20 ---	1-7,11
A	WO 00 55218 A (CALIFORNIA INST OF TECHN) 21 September 2000 (2000-09-21) * page 2, line 19 - page 3, line 7 * page 11, line 20-22; claims 1-33 ---	1-7,11
A	US 6 174 953 B1 (HUYBRECHTS JOSEF) 16 January 2001 (2001-01-16) * claims 1-5 * column 2, line 26 -column 4, line 31 ---	1-7,11
A	US 6 124 411 A (MATYJASZEWSKI KRZYSZTOF ET AL) 26 September 2000 (2000-09-26) * claims 1-16 * the whole document ---	1-7,11
A	WO 99 39731 A (SUPRATEK PHARMA INC ;ALAKOV VALERY Y (CA); BATRAKOVA ELENA V (US);) 12 August 1999 (1999-08-12) claims 1-21 -----	1-7,11